Page 4

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 Ak,O,S,N,CH2,NH

G2 CH,N

G3 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> 8 11

SAMPLE SEARCH INITIATED 08:59:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4829 TO ITERATE

41.4% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

92413 TO 100747

PROJECTED ANSWERS:

33 TO 449

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 08:59:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 95942 TO ITERATE

100.0% PROCESSED 95942 ITERATIONS

356 ANSWERS

5 ANSWERS

SEARCH TIME: 00.00.02

L3 356 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 166.94 167.15

FULL ESTIMATED COST

08/04/2006

Habte

10809635 Page 5

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FILE COVERS 1907 - 4 Aug 2006 VOL 145 ISS 6 FILE LAST UPDATED: 2 Aug 2006 (20060802/ED)

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=> s 13

L4 19 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
1006:104528 CAPLUS
114:192275
Preparation of quinazolinone derivatives useful for the regulation of glucose homeostasis and food intake Rudolph, Joschim, O'Connor, Stephen; Coish, Philip; Wickens, Philip; Bondar, Georgly; Chuang, Chih-Yuan; Ramsden, Philip; Lowe, Derek; Bierer, Donald; Chen, Libing; Pu, Wenlang; Khire, Uday; Liu, Xiao-Gao; McClure, Andrea; Wang, Lei; Yi, Lin; Esler, William Bayer Pharmaceuticals Corporation, USA
PCT Int. Appl., 559 pp.
CODEN: PIXXD2
Patent

(Continued)

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.						DATE		- 2	APPL	ICAT	ION	NO.		D	ATE	
						-									-		
WO	2006	0125	77		A2		2006	0202	1	#O 2	005-	US26	192		20	0050	722
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	PI.	GB,	GD,
		GE,	GH,	GM,	HR,	HU.	ID.	IL,	IN.	IS.	JP,	KE,	KG,	KM,	KP.	KR,	KZ,
		LC.	LK.	LR.	LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK,	MN,	MW.	MX.	MZ.	NA.
		NG.	NI.	NO.	NZ.	OM.	PG.	PH.	PL.	PT.	RO.	RU,	sc.	SD,	SE,	SG.	SK.
		SL.	SM.	SY.	TJ.	TM.	TN.	TR.	TT.	TZ.	UA.	UG.	US.	UZ.	VC.	VN.	YU.
		ZA,	ZM,	ZW													
	RW:	AT,	BE.	BG,	CH,	CY.	CZ,	DE,	DK,	EE,	ES,	PI,	PR,	GB,	GR,	HU,	IE,
							MC.										
		CF.	CG.	CI.	CM.	GA.	GN.	GO.	GW,	ML.	MR.	NE.	SN.	TD.	TG.	BW.	GH.
		GM.	KE.	LS.	MW.	MZ.	NA.	SD.	SL.	sz.	TZ.	UG.	ZM.	ZW.	AM.	AZ.	BY.
					RU.				- •								
PRIORITY	ORITY APPLN. INFO.:			. :						JS 2	004-	5908	04 P	1	P 2	0040	722

OTHER SOURCE(S): MARPAT 144:192275

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

875258-90-5P, 2-Cyclopropyl-6-(4-fluorophenoxy)-3-[(1-isopropylpiperidin-3-yl)methyl]quinazolin-4(3H)-one 875259-30-6P, 6-(4-Chlorophenyl)-2-cyclopropyl-3-[(1-isopropylpiperidin-3-yl)methyl]quinazolin-4(3H)-one 875259-31-7P, 6-(4-Chlorophenyl)-2-cyclopropyl-3-[(piperidin-3-yl)methyl]quinazolin-4(3H)-one 875259-39-5P, 6-(4-Chlorophenyl)-2-cyclobutyl-3-[(1-isopropylpiperidin-3-yl)methyl]quinazolin-4(3H)-one 875263-42-6P

(drug candidate: preparation of guinazolinones useful for regulation

of

glucose homeostasis and food intake) 875258-90-5 CAPIUS 4(3H)-Quinazolinone, 2-cyclopropyl-6-(4-fluorophenoxy)-3-{[1-(1-methylethyl]-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

875259-30-6 CAPLUS
4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclopropyl-3-[[1-(1methylethyl)-3-piperidinyl]methyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

II

The invention is related to substituted quinazolinone derivs. I [R1 = (un)substituted pyrrolidin-3-yl, piperidin-3-yl, morpholin-4-yl, etc.; R2 = H, (un)substituted cyclo/alkyl, pyridinyl, Ph, etc.; R3 = H, halo, haloalkyl, (un)substituted Ph, alkyl, etc.; L = a bond, O, CO, S, SO2, NHSO2, NH and derivs., etc.; X = (CH2)m; m = 0-2; Y = (CH2)n; n = 1-2; p

0-2; with provisos], and their pharmaceutically acceptable salts, and their compns., and methods for treating diabetes, obesity and related disorders, and regulation of glucose homeostasis and food intake (e.g., stimulation and suppression) (no data). The invention is also related to the preparation of quinazolinones I. Five biol. tests are given (no

data).

Thus, II=TFA was prepared by amination of 5-fluoro-2-nitrobenzoic acid with N-methylbutylamine, reduction of the nitro compound, cyclocondensation with o-anisoyl chloride, reaction with tert-Bu 3-(aminomethyl)piperidine-1-carboxylate (intermediate not isolated), and Boc-deprotection in the presence of TFA.

II 875259-38-4P, 6-(4-chlorophenyl)-2-cyclobutyl-3-[(piperidin-3-yl)methyl]quinacolin-4(3N)-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or resgent); USES (Uses)

- (drug candidate; preparation of quinazolinones useful for regulation of

of

glucose homeostasis and food intake) 875239-38-4 CAPLUS 4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclobutyl-3-(3-piperidinylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

875259-31-7 CAPLUS
4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclopropyl-3-(3-piperidinylmethyl)- (9CI) (CA INDEX NAME)

875259-39-5 CAPLUS 4(3H)-Quinazolinone

CN 4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclobutyl-3-[[1-(1-methylethyl)-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

875263-42-6 CAPLUS 4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclopentyl-3-[(1-ethyl-3-piperidinyl)methyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 875263-41-5 CMF C27 H32 Cl N3 O

2 CRN 76-05-1

Page 7

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN CMF C2 H F3 O2 (Continued)

RN 875265-37-5 CAPLUS
CN 4(3H)-Quinazolinone,
6-[3-chloro-4-(trifluoromethyl)phenyl]-2-cyclopropyl3-[{(3S}-1-(1-methylethyl)-3-piperidinyl)methyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$P_3$$

875265-38-6 CAPLUS
4(3H)-Quinazolinone, 6-(2-chlorophenyl)-2-cyclopropyl-3-{[(3S)-1-(1-methylethyl)-3-piperidinyl|methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

875266-27-6 CAPLUS
4(3H)-Quinazolinone, 2-cyclopropyl-6-(4-fluorophenyl)-3-[[1-(1-methylethyl)-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) 4(3H)-Quinazolinone, 6-bromo-2-cyclopropyl-3-[1-(1-methylethyl)-3-piperidinyl]methyl]-(9CI) (G. INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

L4 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

875266-28-7 CAPLUS 4(3H)-Quinazolinone, 2-cyclopropyl-6-(2,4-difluorophenyl)-3-[[1-(1-methylethyl)-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

875266-31-2 CAPLUS
4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclopentyl-3-(3-piperidinylmethyl)- (9CI) (CA INDEX NAME)

875266-32-3 CAPLUS
4(3H)-Quinazolinone, 6-(4-chlorophenyl)-2-cyclopentyl-3-[[1-(1-methylethyl)-3-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

875269-80-0P, 6-Bromo-2-cyclopropyl-3-[(1-isopropylpiperidin-3-y1)methyl]quinazolin-4(3H)-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolinones useful for regulation of glucose homeostasis and food intake)
875269-80-0 CAPLUS

L4 ANSWER 2 OF 19
ACCESSION NUMBER:
DOCUMENT NUMBER:
1TILE:
1TILE:
1NVENTOR(S):
2005:1240775 CAPLUS
1A4:17202
Novel 2-amino-4-quinazolinones and
2-amino-4-oxoquinazolones as LRR (liver X receptor)
nuclear receptor binding compounds with partial
agonistic properties
Deuschle, Ulrich; Loebbert, Ralph; Blume, Beatrix;
Koegl, Manfred; Kremoser, Claus; Kober, Ingo; Bauer,
Ulrike; Hermann, Kristina; Albers, Michael
Germany

Office, nermann, Kristina; Ali Germany U.S. Pat. Appl. Publ., 52 pp. CODEN: USXXCO Patent English 2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

*********			ON:	KIND DATE								DATE					
PA	TENT	NO.			KINI	0	DATE			APPL	ICAT	ION	NO.		D	ATE	
	2005		19		A1		2005	1124		US 2	005-	7616	3		2	0050	309
EF	1407	774			A1		2004	0414		EP 2	002-	2025	5		2	0020	910
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		IE,	SI,				RO,										
CA	2498	655			AΑ		2004	0325		CA 2	003-	2498	655		2	0030	702
WC	2004	0241	62		A1		2004	0325		WO 2	003-	EP70	67		2	0030	702
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		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EB,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT.	LU,	LV.	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT.	RO,	RU.	SC.	SD,	SE.	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT.	TZ.
		UA.	UG.	US.	UZ,	VC.	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,										UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG.	KZ.	MD,	RU.	TJ.	TM,	AT.	BE,	BG,	CH,	CY,	CZ,	DE,	DK.	EE,	ES.
							IE.										
		BF.	BJ.	CF.	cg.	CI.	CM,	GA,	GN.	GQ,	GW,	ML,	MR,	NE.	SN.	TD.	TG
AU	2003																
	2006																
	2004				A1		2004	0325		WO 2	003-	EP10	036		2	0030	910
	W:	AE.	AG.	AL.	AM.	AT.	AU.	AZ.	BA,	BB,	BG.	BR.	BY,	BZ.	CA.	CH.	CN.
		co.	CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EC.	EE.	ES.	PI.	GB.	GD.	GE.	GH,
		GM.	HR.	HU.													
					ID.	IL.	IN.	ıs,	JP,	KĒ,	KG.	KP.	KR.	KZ,	LC.	LK.	LK.
															LC, NO.		
		LS,	LT,	LU,	LV,	MA,	IN, MD, RU.	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		LS, PG.	LT, PH,	LU, PL,	LV.	MA. RO,	MD,	MG, SC,	MK, SD,	MN, SE,	MW, SG,	MX, SK,	MZ, SL,	NI, SY,	NO,	NZ,	OM,
	RW:	LS, PG. TR,	LT, PH, TT,	LU, PL, TZ,	LV. PT. UA.	MA, RO, UG,	MD, RU, US,	MG, SC, UZ,	MK, SD, VC,	MN, SE, VN,	MW, SG, YU,	MX, SK, ZA,	MZ, SL, ZM,	NI, SY, ZW	NO, TJ,	NZ, TM,	OM, TN,
	RW:	LS, PG, TR, GH,	LT, PH, TT, GM,	LU, PL, TZ, KE,	LV. PT. UA. LS.	MA, RO, UG, MW,	MD, RU, US, MZ,	MG, SC, UZ, SD,	MK, SD, VC, SL,	MN, SE, VN, SZ,	MW, SG, YU, TZ,	MX, SK, ZA, UG,	MZ, SL, ZM, ZM,	NI, SY, ZW ZW,	NO, TJ,	NZ, TM,	OM, TN, BY,
	RW:	LS, PG. TR, GH, KG,	LT, PH, TT, GM, KZ,	LU, PL, TZ, KE, MD,	LV, PT, UA, LS, RU,	MA, RO, UG, MW, TJ,	MD, RU, US, MZ, TM,	MG, SC, UZ, SD, AT,	MK, SD, VC, SL, BE,	MN, SE, VN, SZ, BG,	MW, SG, YU, TZ, CH,	MX, SK, ZA, UG, CY,	MZ, SL, ZM, ZM, CZ,	NI, SY, ZW, ZW, DE,	NO, TJ, AM, DK,	NZ, TM, AZ, EE,	OM, TN, BY, ES,
	RW:	LS, PG. TR, GH, KG, PI,	LT, PH, TT, GM, KZ, PR,	LU, PL, TZ, KE, MD, GB,	LV. PT. UA. LS. RU. GR.	MA, RO, UG, MW, TJ, HU,	MD, RU, US, MZ, TM, IE,	MG, SC, UZ, SD, AT, IT,	MK, SD, VC, SL, BE, LU,	MN, SE, VN, SZ, BG, MC,	MW, SG, YU, TZ, CH, NL,	MX, SK, ZA, UG, CY, PT,	MZ, SL, ZM, ZM, CZ, RO,	NI, SY, ZW, ZW, DE, SE,	NO, TJ, AM, DK, SI,	NZ, TM, AZ, EE, SK,	OM, TN, BY, ES, TR,
AU		LS, PG, TR, GH, KG, PI, BF,	LT, PH, TT, GM, KZ, PR, BJ,	LU, PL, TZ, KE, MD, GB, CF,	LV, PT, UA, LS, RU, GR, CG,	MA, RO, UG, MW, TJ, HU, CI,	MD, RU, US, MZ, TM, IE, CM,	MG, SC, UZ, SD, AT, IT, GA,	MK, SD, VC, SL, BE, LU, GN,	MN, SE, VN, SZ, BG, MC, GQ,	MW, SG, YU, TZ, CH, NL, GW,	MX, SK, ZA, UG, CY, PT, ML,	MZ, SL, ZM, ZM, CZ, RO, MR,	NI, SY, ZW, ZW, DE, SE, NE,	NO, TJ, AM, DK, SI, SN,	NZ, TM, AZ, EE, SK, TD,	OM, TN, BY, ES, TR, TG
AU Es	J 2003	LS, PG, TR, GH, KG, PI, BP, 2715	LT, PH, TT, GM, KZ, PR, BJ,	LU, PL, TZ, KE, MD, GB, CF,	LV, PT, UA, LS, RU, GR, CG, A1	MA, RO, UG, MW, TJ, HU, CI,	MD, RU, US, MZ, TM, IE, CM, 2004	MG, SC, UZ, SD, AT, IT, GA, 0430	MK, SD, VC, SL, BE, LU, GN,	MN, SE, VN, SZ, BG, MC, GQ,	MW, SG, YU, TZ, CH, NL, GW,	MX, SK, ZA, UG, CY, PT, ML,	MZ, SL, ZM, ZM, CZ, RO, MR,	NI, SY, ZW, ZW, DE, SE, NE,	NO, TJ, AM, DK, SI, SN,	NZ, TM, AZ, EE, SK, TD,	OM, TN, BY, ES, TR, TG
AU Es	RW: J 2003 P 1536	LS, PG, TR, GH, KG, PI, BP, 2715	LT, PH, TT, GM, KZ, PR, BJ,	LU, PL, TZ, KE, MD, GB, CF,	LV, PT, UA, LS, RU, GR, CG, A1	MA, RO, UG, MW, TJ, HU, CI,	MD, RU, US, MZ, TM, IE, CM, 2004	MG, SC, UZ, SD, AT, IT, GA, 0430	MK, SD, VC, SL, BE, LU, GN,	MN, SE, VN, SZ, BG, MC, GQ,	MW, SG, YU, TZ, CH, NL, GW,	MX, SK, ZA, UG, CY, PT, ML,	MZ, SL, ZM, ZM, CZ, RO, MR,	NI, SY, ZW, ZW, DE, SE, NE,	NO, TJ, AM, DK, SI, SN,	NZ, TM, AZ, EE, SK, TD,	OM, TN, BY, ES, TR, TG
AU EF	2003 2003 2003 2003 2003	LS, PG. TR, GH. KG, FI, BF, 2715 799	LT, PH, TT, GM, KZ, PR, BJ, 95	LU, PL, TZ, KE, MD, GB, CF,	LV. PT. UA, LS, RU, GR, CG, A1 A1 B1	MA, RO, UG, MW, TJ, HU, CI,	MD, RU, US, MZ, TM, IE, CM, 2004 2005	MG, SC, UZ, SD, AT, IT, GA, 0430 0608	MK, SD, VC, SL, BE, LU, GN,	MN, SE, VN, SZ, BG, MC, GQ, AU 2 EP 2	MW, SG, YU, TZ, CH, NL, GW, 003-	MX, SK, ZA, UG, CY, PT, ML, 2715 7534	MZ, SL, ZM, CZ, RO, MR, 95	NI, SY, ZW, ZW, DE, SE, NE,	NO, TJ, AM, DK, SI, SN,	NZ, TM, EE, SK, TD, 0030	OM, TN, BY, ES, TR, TG 910
AU Es Es	2003 2003 2003 2003 2003	LS, PG, TR, GH, KG, PI, BF, 2715 799 799 AT,	LT, PH, TT, GM, KZ, PR, BJ, 95	LU, PL, TZ, KB, MD, GB, CF,	LV. PT. UA. LS. RU. GR. CG. A1 A1 B1 DE.	MA, RO, UG, MW, TJ, HU, CI,	MD, RU, US, MZ, TM, IE, CM, 2004 2005 2006 ES,	MG, SC, UZ, SD, AT, IT, GA, 0430 0510 PR,	MK, SD, VC, SL, BE, LU, GN,	MN, SE, VN, SZ, BG, MC, GQ, AU 2 EP 2	MW, SG, YU, TZ, CH, NL, GW, 003- 003-	MX, SK, ZA, UG, CY, PT, ML, 2715 7534	M2, SL, ZM, CZ, RO, MR, 95	NI, SY, ZW, DE, SE, NE,	NO, TJ, DK, SI, SN, 2 SE,	NZ, TM, AZ, EE, SK, TD, 0030	OM, TN, BY, ES, TR, TG 910
AU Eg Eg	J 2003 2 1536 2 1536 R:	LS, PG, TR, GH, KG, PI, BF, 2715 799 AT, IE,	LT, PH, TT, GM, KZ, PR, BJ, 95	LU, PL, TZ, KE, MD, GB, CF,	LV. PT. UA. LS. RU. GR. CG. A1 A1 B1 DE.	MA, RO, UG, MW, TJ, HU, CI,	MD, RU, US, MZ, TM, IE, CM, 2004 2005	MG, SC, UZ, SD, AT, IT, GA, 0430 0510 FR, MK,	MK, SD, VC, SL, BE, LU, GN,	MN, SE, VN, SZ, BG, MC, GQ, AU 2 EP 2	MW, SG, YU, TZ, CH, NL, GW, 003- 003-	MX, SK, ZA, UG, CY, PT, ML, 2715 7534 LI, BG,	MZ, SL, ZM, CZ, RO, MR, 95 02 LU, CZ,	NI, SY, ZW, DE, SE, NE,	NO, TJ, DK, SI, SN, 2 SE, HU,	NZ, TM, AZ, EE, SK, TD, 0030	OM, TN, BY, ES, TR, TG 910 910

WO 2003-EP10036 A2 20030910 L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 144:17202

The present invention relates to compds. according to the general formula (I) wherein R1, R2, R3 and/or R4, are independently from each other selected from H, halogen, hydroxy, protected hydroxy, cyano, nitro, C1 to C6 alkyl, C1 to C6 substituted alkyl, C1 to C7 substituted alkoxy, C1 to C7 acyl, C1 to C7 substituted alkoxy, C1 to C7 acyl, C1 to C7 substituted alkoxy, C1 to C7 substituted amino, carboxy, carboxy, protected acrboxy, carboxy, protected acrboxy, carboxy, protected damino, disnosubstituted) amino, protected (monosubstituted) amino, (disubstituted) amino, carboxamide, protected carboxamide, N-C1 to C6 alkyl)carboxamide, protected N-C1 to C6 alkyl)carboxamide, N-M-di(C1 to C6 alkyl)carboxamide, trifluoromethyl, N-[(C1 to C6 alkyl)aulfonyl)amino, N-(phenylsulfonyl)amino or substituted or unsubstituted phenyl; R5 is H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or C7

C12 substituted phenylalkyl, R6 is H, C1 to C8 alkyl, C1 to C8

substituted
alkyl, C7 to C12 alkylphenyl or C7 to C12 substituted phenylalkyl, R7 is
H, C1 to C8 alkyl, C1 to C8 substituted alkyl, C7 to C12 alkylphenyl or

to C12 substituted phenylalkyl, and R6 and R7 may be taken together with nitrogen to form a heterocycle or substituted heterocycle or a heterocycle or or substituted heterocycle or a heterocaryl or as agonists and antagonists of the LXR receptors. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptor by said compds. and the production of medicaments

using IT

g
said compds.
671211-38-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(novel 2-aminoquinazolinones and 2-aminooxoquinazolones as LXR nuclear
receptor binding compds. with partial agonistic properties for
treatment of diseases)
671211-38-4 CAPLUS
4-Piperidinecarboxylic acid, 1-[3,4-dihydro-4-oxo-3-(2-phenylethyl)-2quinazolinyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
143:43903 CAPLUS

TITLE:

NUMBER:
143:43903 CAPLUS
Preparation of piperezinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S):
BOYCE, RUSTUM S.; Speake, Jason D.; Phillips, James Chicon Corporation, USA; Glaxosmithkline
COENT (COENT) PIXXD2

DOCUMENT TYPE:
DAILUY ACC. NUM. COUNT:
PAHILY ACC. NUM. COUNT:
2

DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

									APPLICATION NO.										
						-									-				
WO	2005	0513	91		A1		2005	0609	1	WO 2	004-1	US3 9	020		2	0041	119		
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	PI,	GB,	GD,		
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,		
	NO, NZ, OM,				PG,	PH,	PL,	PT,	RO,	RU,	sc.	ŞD,	SÈ,	SG,	SK,	SL,	SY,		
	TJ, TM, TN, RW: BW. GH, GM.				TR,	TT,	TZ,	UA,	UG,	us,	υz,	VC,	VN,	ΥU,	ZA,	ZM,	ZW		
					KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,		
		AZ,	BY,	KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	ıs,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,		
		SE,	SI,	SK,	TR,	BP,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,		
				TD,															
AU	2004	2930	12		A1		2005	0609	- 1	AU 2	004-	2930	12		20041119				
US	2005	1922	97		A1		2005	0901	- 1	US 2	004-	9931	47		2	0041	119		
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	003-	5233	36P		P 2	0031	119		
									1	US 2	003-	5244	92P		P 2	0031	124		
									,	MO 3	004-	US39	020		W 2	0041	119		

OTHER SOURCE(S):

MARPAT 143:43903

(Continued) L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

Title compds. [I, R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl. cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl, R2 = H, (substituted) aralkyl, heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclyl, cycloalkyl, heterocyclyl, cycloalkyl, cycloalkyl, cycloalkyl, kycloalkyl, alkenyl, alkynyl, alkyl, R3, R4, R6 = H, Cl, F, Br, iodo, OH, NN2, cyano, NO2, (substituted) alkoxy, alkyl, R3, R4, R6 = H, Cl, F, Br, iodo, OH, NN2, cyano, NO2, (substituted) alkoxy, alkyl, R3, R4, R6 = H, Cl, F, Br, iodo, OH, NN2, alkynyl, alkynyl, recomply, heterocyclylakyl, aralkyl, alkynyl, cycloalkyl, heterocyclylakyl, recomposityl, heterocyclylakyl, recomposityl, heterocyclylakyl, recomposityl, heterocyclylakyl, cycloalkylakyl, Z = (substituted) 3-oxopiterasinyl; and tautomers), were prepared Thus, title compound (II) (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinezolinylthiourea ivative in the presence of polymer-supported carbodimide) showed a plasma half life of 1.9 h in mice. 23928.6-59.9 B17626-63-4P 817627-21-7P 817627-22-8P 817627-35-3P 817627-35-3P 817627-35-5P 817627-35-9 817627-35-3P 817627-35-3P 817627-35-3P 817627-35-4P 817627-36-4P 817627-42-3P 817627-42-3P 817627-42-4P 817627-35-4P 817627-35-3P 817627-42-3P 817627-42-4P 817627-35-4P 817627-35-3P 817627-42-3P 8

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817626-63-4 CAPLUS
1-Piperazinecarboximidamide, N-{3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-{(3S)-3-hydroxy-1-pyrrolidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5oxo-N'-{(1S,2S,3S,SR)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)(SCI) (CA INDEX NAME)

Absolute stereochemistry.

817627-21-7 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-(3-hydroxy-1-azetidiny1)-4-oxo-7-quinazoliny1}-3-methy1-5-oxo-N'-[(1R, 25, 35, 55)-2, 6, 6-trimethylbicyclo[3.1.1]hept-3-y1)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-29-5 CAPLUS
4-Morpholinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

817627-30-8 CAPLUS
4-Morpholinecarboxkmidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-N'[[1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3,1.1]hept-3-yl]- (9CI) (CA INDEX

Absolute stereochemistry.

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'[1R.2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-28-4 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl)-3-methyl-5-oxo-N'-[(18,2,35,55)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl) ethyl]-3,4-dihydro2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'[(1R,28,38,58)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-36-4 CAPLUS
1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-

3,4-dihydro-2-(4-hydroxy-1-piperidiny1)-4-oxo-7-quinazoliny1]-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)-(CA INDEX NAME)

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-41-1 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-ox
N'-[(R, 25,85,85)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (38)- (9CI)
(CA INDEX MAME)

Absolute stereochemistry

817627-42-2 CAPLUS
1-Azetidinecarboximidemide, N-{3-{2-(2,4-dichlorophenyl)ethyl}-2-{4,4-diflucor-1-piperidinyl}-3,4-dihydro-4-oxo-7-quinazolinyl}-3-hydroxy-N'[[1R,2s,3s,5s]-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX

Absolute stereochemistry.

ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-43-3 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-{4-(hydroxymethy1)-1-piperidiny1]-4-oxo-7-quinazoliny1]-3-methy1-5-oxo-N*-(IR, 28, 35, 58)-2, 6, 6-trimethylbicyclo[3.1.1]hept-3-y1]-, (38)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAMR!

Absolute stereochemistry.

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005.460917 CAPLUS

DOCUMENT NUMBER: 143:15336

TITLE: Single step synthesis of 2,3-dialkyl-6-nitro-quinazolin-4(3H)-dmines and 3,5-dialkyl-9-nitro-imidazol(1,2-c)quinazolin-2(3H)-ones

AUTHOR(S): Erbs, Emanuels; Pocar, Donato; Trimarco, Pasqualina Istituto di Chinica Organica Alessandro Marchesini' e Centro Interuniversitario di Ricerca sulle Reazioni Pericicliche e Sintesi di Sistemi Etero-e Carbociclici, Universita degli Studi di Milano,

Milan.

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

nn,

I - 2013], Itely

RCE: Tetrahedron (2005), 61(24), 5778-5781

CODEN: TETRAB; ISSN: 0040-4020

LISHER: Elevier B.V.

MENT TYPE: Journal

RLAGE: English

RR SOURCE(S): CASREACT 143:153336

A single step synthesis of 2,3-dialkyl-6-nitro-quinazolin-4(3H)-imines

A single step synthesis of 2,3-dialkyl-6-nitro-quinazolin-4(3H)-imines

3,5-dialkyl-9-nitro-imidazo-[1,2-c]-quinazolin-2(3H)-ones from simple carbonyl compds., primary amines or amino ecid Me esters and 2-azido-5-nitro-benzonitrile was developed. Key intermediates were N,N'-disubstituted amidines obtained by rearrangement of 4,5-dihydrotriazoles; the new heterocyclic rings were formed by spontaneous intramol. reaction of the amino and cyano groups which are present in the intermediates. 859497-76-0P 859497-77-1P

RL: SFN (Synthetic preparation); PREP (Preparation) (synthesis of 2,3-dialkyl-6-nitro-quinazolin-4(3H)-imines and 3,5-dialkyl-9-nitro-imidazo[1,2-c]quinazolin-2(3H)-ones from carbonyl compds. primary maines or amino acid Me esters and 2-azido-5-nitro-benzonitrile)

\$59497-76-0 CAPLUS
4(3H)-Quinazolinimine, 2-cyclopentyl-6-nitro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

859497-77-1 CAPLUS 4(3H)-Quinazolinimine, 2-cyclohexyl-6-nitro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

PORMAT

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2005:85958 CAPLUS DOCUMENT NUMBER: 142:336323 DOCUMENT NUMBER: TITLE: 142:336323
Microwave-assisted one-pot synthesis of
2,3-disubstituted 3H-quinazolin-4-ones
Liu, Ji-Feng, Lee, Jackyoo; Dalton, Audra M.; Bi,
Grace; Yu, Libing; Baldino, Carmen M.; McElory, Eric;
Brown, Matt
Division of Chemical Technologies, ArQule, Inc.,
Moburn, MA, 01801, USA
Tetrahedron Letters (2005), 46(8), 1241-1244
CODEN: TELEAY; ISSN: 0040-4039 AUTHOR (S): CORPORATE SOURCE: SOURCE: PUBLISHER: Elsevier B.V. DOCUMENT TYPE: LANGUAGE: NAGE: English
CR SOURCE(s): CASREACT 142:336323
A practical synthesis of 2,3-disubstituted 3H-quinazolin-4-ones with OTHER SOURCE(S): chemical scope is described. The key step is the microwave promoted Not, two-step reaction sequence combining anthranilic acids, carboxylic acids, and amines providing efficient access to this important class of heterocycles. Furthermore, the reaction of 2-amino-3-pyridinecarboxylic acid with benzoyl chloride and benzenemethanamine gave 2-phenyl-3-(phenylmethyl)pyrido[2,3-d]pyrimidin-4(3H)-one. 40057-i1-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (cyclopropyl)[(phenyl)-ethyl]-4(3H)-quinazolinone by microwave-assisted reaction using (amino)benzoic acid, benzoyl chloride, and amine as starting materials)
40057-i1-2 CAPLUS
4(3H)-Quinazolinone, 2-cyclopropyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

> THERE ARE 18 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
2004:1156498 CAPLUS
1142:93848
Preparation of guanidino-substituted quinazolinone compounds as MC4-R agonists
Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Kuntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Kien S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.

PATENT ASSIGNEE(S):
COURCE:
COORNIC TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PAMILY ACC. NUM. COUNT:
2

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:	2		
PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2004112793	A1 20041229	WO 2004-US15959	20040521
WO 2004112793			
		BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
		DM, DZ, EC, EB, EG,	
		IN, IS, JP, KE, KG,	
		MD, MG, MK, MN, MW,	
		RO, RU, SC, SD, SE,	
		UG, US, UZ, VC, VN,	
		NA, SD, SL, SZ, TZ,	
		TM, AT, BE, BG, CH,	
		IE, IT, LU, MC, NL,	
		CI, CM, GA, GN, GQ,	
SN, TD, TG	Br, Bo, Cr, CG,	CI, CM, GM, GM, GQ,	GH, FILL, FEC, 112,
SN, 1D, 1G	31 20041229	AU 2004-249120	20040521
AU 2004249120	A1 20041229	CA 2004-2523015	20040521
		US 2004-850967	
05 2005059662	A1 20050517	EP 2004-776069	20040521
		GB, GR, IT, LI, LU,	
		CZ, EE, HU, PL, SK	NL, SE, FC, FI,
	RU, CI, IR, BG,	US 2003-473317P	D 20030E33
PRIORITY APPLN. INFO.:		US 2003-4/331/F	P 20030323
		US 2003-523336P	P 20031119
		US 2003-524492P	P 20031124
		WO 2004-US15959	W 20040521
	W1000 140.0104		

OTHER SOURCE(S): MARPAT 142:93848 L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R

R
agonists such as I-III [Z1 = CR4, N: Z2 = CR5, N; Z3 = CR6, N; R1 =
(un)substituted arylalkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R2 =
H, alkyl, aryl, etc.; R3 = H, arylalkyl, aryl, etc.; R4-R6 = H, Cl, I, P,
BT, OH, etc.; W = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl,
etc.; at least one of R11 and R12 is (un)substituted heterocyclylalkyl;
R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted
alkyl, cycloalkyl, etc.) lare provided. General procedures used in the
synthesis of compds. I-III are described. E.g., a multi-step synthesis

(18,28,38,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. are useful in treating MC4-R mediated diseases such as obesity and type

diabetes. The pharmaceutical composition comprising the compound I is losed. 69-9P 817627-63-4P 817627-21-7P 817627-22-8P 817627-28-4P 817627-28-4P 817627-29-5P 817627-30-8P 817627-35-3P 817627-36-4P 817627-41-1P 817627-42-2P 817627-43-3P 817627-44-4P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of guanidino-substituted quinazolinone compds. as MC4-R agonists)

RN 639628-69-9 CAPLUS

CN 1-Piperazinecarboximidamide,
N-[3-[2-(4-fluorophenyl)ethyl)-3,4-dihydro-2(4-methylcyclohexyl)-4-oxo-7-quinazolinyl)-3-methyl-N'-[(16,25,35,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817626-63-4 CAPLUS
1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-{(38)-3-hydroxy-1-pyrrolidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-{(15,28,38,5R)-2,6,6-trimethylbicyclo{3.1.1}hept-3-yl}-, (38)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-21-7 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl}-3-methyl-5-oxo-N'-[(1R, 25,35,55)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-29-5 CAPLUS
4-Morpholinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-

dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl)-2,6-dimethyl-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

817627-30-8 CAPLUS
4-Norpholinecarboximidamide, N-[3-{2-{2,4-dichloropheny1}ethy1}-3,4-dihydro-2-(4-hydroxy-1-piperidiny1)-4-oxo-7-quinazoliny11-N-((IR,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl) ethyl]-3,4-dihydro2-(3-hydroxy-1-ezetidinyl)-4-oxo-7-quinezolinyl]-3-hydroxy-N((1R,26,35,55)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

817627-28-4 CAPLUS
1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl)-3-methyl-5-oxo-N*-[[18,5,35,55)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, [38]- (9CI)

Absolute stereochemistry.

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- [9CI) (CA INDEX

Absolute stereochemistry.

817627-36-4 CAPLUS
1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-

3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,SS)-

(CA INDEX NAME)

Page 13

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-41-1 CAPLUS
1-Piperszinecszboxtmidamide, N-[3-{2-{2,4-dichlorophenyl}ethyl}-2-{4,4-dichlorophenyl-2-id,4-dichlorophenyl}ethyl}-3-methyl-5-oxx
N'-[(IR,28,38,58)-2,6,6-trimethylbicyclo[3,1.1]hept-3-yl]-, (38)- (9CI)

Absolute stereochemistry.

817627-42-2 CAPLUS
1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-hydroxy-N'-[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

817627-43-3 CAPLUS
1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl]-3,4-

dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinezolinyl]-3-methyl-5-oxo-N'-[(R, 25, 85, 85)-2, 6, 6-trimethylbicyclo[3.1.1]hept-3-yl]-, (38)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide,
N-[3-(2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'[(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STM ACCESSION NUMBER: 2004:1125357 CAPLUS DOCUMENT NUMBER: 142:83382 TITLE: Pyrimidine compound and optice Pyrimidine compound and optical recording material

Pyrimidine compound and optical recording material using it Shiozaki, Hiroyoshi, Ishida, Tsutomu; Ogiso, Akira Mitsui Chemicals Inc., Japan Jpn. Kokai Tokkyo Koho, 45 pp. CODEN: JKCKAF Patent Japanese INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO.

DATE JP 2004358819 PRIORITY APPLN. INFO.: A2 JP 2003-160251 JP 2003-160251 20030605 20041224

OTHER SOURCE(S): MARPAT 142:82382

$$(AR^3) = N \qquad (AR^1) \qquad (AR^2) \qquad (AR^2)$$

AB A compound I [AR1-3 = (un)substituted aromatic residue; X11-12 = 0, S; R11-12 =

H, (un)substituted alkyl, aralkyl, aryl) having two 2-[4-(thi)oxopyrimidinyl]-1,3-propanedione structures is claimed. The

(thi)oxopyrimidinyl]-1,3-propanedione structures as contains ≥1 of I. The material is recorded and read by 300-900 nm laser beam, especially by blue-violet laser with 400-410 nm.

18 81803-68-6
RL: TEM (Technical or engineered material use); USES (Uses)
(optical recording material containing pyrimidinyl propanedione compound)
RN 811803-68-6 CAPLUS
CN s-Indacene-1,3,5,7(2H,6H)-tetrone,
2,6-bis(3,4-dihydro-6-(4-morpholinyl)-4-oxo-3-(phenylmethyl)-2-quinazolinyl)- (9CI) (CA INDEX NAME)

Page 14

L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(substituted)3-7-membered ring; R3,R4 = taken together form a
(substituted)5-6-membered ring; R5 = H, (substituted)alky1, cycloalky1,
etc.; R6 = (substituted)C3-7-cycloalky1 or aryl) are disclosed. Thus,
2-[2-(3-aminopiperidin-1-y1)-6,7-dimethoxy-4-oxo-4H-quinazolin-3ylmethyl]benzontrile (I; R1 = 2-cyanophenylmethyl; R2 =
3-aminopiperidin-1-y1, R3,R4 = dimethoxy+enyl) was synthesized. This
compd. exhibited enhanced stability in rat liver microsomes.
769157-8-22 P69157-55-3P 769157-56-4P
769157-3-3P 769157-55-3P 769157-56-4P
769157-3-3P 769157-65-5P 769157-9-1P
769157-3-3P 769157-93-3P 769157-91-7P
769157-92-8P 769157-93-9P 769157-91-7P
769158-03-4P 769158-01-2P 769158-02-3P
769158-03-4P 769158-04-5P 769158-05-6P
769158-06-7P 769158-14-7P
RL: BSU (Biological study), unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)
(dipeptidyl peptidase inhibitors)
769157-54-2 CAPLUS
Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-4-oxo-3(4H)quinazolinyl]methyl}- (9CI) (CA INDEX NAME)

769157-55-3 CAPLUS Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

769157-56-4 CAPLUS
Benzonitrile, 2-[[2-(3-amino-1-piperidiny1)-8-methoxy-4-oxo-3(4H)-quinazoliny1]methy1]- (9CI) (CA INDEX NAME)

L4 ANSMER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
111:309639
Dipeptidyl peptidase inhibitors
Peng, Jun; Gwaltney, Stephen L.; Kaldor, Stephen W.;
Stafford, Jeffrey A.; Wallace, Michael B.; Zhang,
Zhiyuan

Zhiyuan Syrrx, Inc., USA PCT Int. Appl., 244 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent English

LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		TENT																
							-									-		
	WO	2004	0870	53		A2		2004	1014	1	WO 2	004-1	US 92	17		2	0040	324
	WO	2004	0870	53		C2		2004	1111									
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co.	CR,	CU.	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	PI,	GB,	GD,
			GE.	GH.	GM.	HR.	HU.	ID.	IL.	IN.	IS.	JP.	KE,	KG.	KP,	KR.	KZ,	LC.
			LK.	LR.	LS.	LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NA,	NI,
																	SL,	
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KIO	RIT	Y APP	LN.	INFO							05 4	003-	45//	DOP		P 2	0030	345

WO 2004-US9217

W 20040324

OTHER SOURCE(S): MARPAT 141:309639

Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO2, C:NR5; R1 = ZR6; Z = moiety providing 1-6 atom separation between R6 and ring; R2 =

ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-57-5 CAPLUS
Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-quinazolinyl|methyl]- (9CI) (CA INDEX NAME)

769157-58-6 CAPLUS /opin/-ps-6 carMUS Benzonitrile, 2-[[2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

769157-59-7 CAPLUS
Benzonitrile, 2-{[2-(3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyl|methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-63-3 CAPLUS
Benzonitrile, 2-[[2-{(3R)-3-amino-1-piperidiny1]-6-chloro-4-oxo-3(4H)-quinazoliny1]methy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769157-65-5 CAPLUS
CN Benzonitrie,
2-[12-[18], 3-amino-1-piperidinyl]-7-fluoro-6-methoxy-4-oxo3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI)
NAME)

CM

CRN 769157-64-4 CMF C22 H22 F N5 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 02

ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-89-3 CAPLUS
Benzonitrile, 2-[(2-((3R)-3-amino-1-piperidinyl)-6-bromo-4-oxo-3(4H)-quinszolinyl)methyll- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-91-7 CAPLUS Benzonitrile, 2-[[2-[(3R)-3-amino-1-pyrrolidinyl)-6-bromo-4-oxo-3(4H)-quinazolinyl]methyll-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 769157-90-6 CMP C20 H18 Br N5 O

Absolute stereochemistry.

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN

769157-71-3 CAPLUS
Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 769157-70-2 CMF C21 H20 F N5 O

Absolute stereochemistry

769157-81-5 CAPLUS 4(3H)-Quinazolinone, 2-[(3R)-3-amino-1-piperidinyl)-6-fluoro-3-[[2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMP C2 H F3 O2

RN 769157-92-8 CAPLUS
CN Benzonitrile,
2-[[2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-93-9 CAPLUS
Benzonitrile, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769157-94-0 CAPLUS
Benzamide, 2-{{2-{3R}-3-amino-1-piperidinyl}-6-fluoro-4-oxo-3(4H}-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769157-95-1 CAPLUS
Benzonitrile, 2-{[2-{[3R]-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER & OF 19 CAPLUS COPYRIGHT 2006 ACS on STM (Continued)
Benzoic scid, 2-[(2-[(3R).3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)quinazolinyl]methyl-, ethyl seter (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 769158-04-5 CAPLUS

Benzoic acid,
2-[(2-{(18)-3-amino-1-piperidinyl}-6,7-dimethoxy-4-oxo-3{4H}-quinazolinyl}methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769158-05-6 CAPLUS
Benzoic acid, 2-[[2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769158-01-2 CAPLUS 4(3H)-Quinazolione, 2-(3-amino-1-piperidinyl)-6,7-dimethoxy-3-[(2-nitrophenyl)methyl)- (9CI) (CA INDEX NAME)

RN 769158-02-3 CAPLUS
CN Benzoic acid,
2-[[2-([3R])-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)quinazolinyl]methyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

769158-03-4 CAPLUS

L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

769158-06-7 CAPLUS Encontrile, 2-[[6,7-dimethoxy-4-0xo-2-(1-piperidinyl)-3(4H)-quinazolinyl]methyl]- (9CI) (CA IMDEX NAME)

769158-14-7 CAPLUS
Benzonitrile, 2-{[2-{(3R)-3-amino-1-piperidinyl}-6-fluoro-4-oxo-3(4H)-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSMER 9 OP 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
10024211993 CAPLUS
1401264510
4-Oxo-quinaxoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism
Kober, Ingo; Albers, Michael; Koegl, Manfred; Blume, Beatrix; Deuschle, Ulrich; Kremoser, Claus Phenex Pharmaceuticals A.-G., Germany Eur. Pat. Appl., 85 pp.
CODEN: EPXXDW
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PARENT INFORMATION:

2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

R SOURCE(S): MARPAT 140:264510 4-0xo-quinazoline ligands for liver X receptors (LXR receptors, LXRa/NR1 H3 and LXRbeta/NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment

diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compds. and the production of medicaments

caments
using said compds. In particular the compds. are useful in the treatment
of hypercholesteremia, obesity or other diseases associated with elevated
lipoprotein (LDL) levels. Reporter gene methods of screening for
effective agonists of the receptor are described.
671211-38-4
RE: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as liver X receptor agonist; 4-oxo-quinazoline agonist ligands for
liver X nuclear receptor and their use in treatment of disorders of
lipid metabolism)
671211-38-4 CAPLUS
4-Piperidinecarboxylic acid, 1-{3,4-dihydro-4-oxo-3-{2-phenylethy1}-2quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:951025 CAPLUS DOCUMENT NUMBER: 140:16739 TITLE: Preparation of ' Preparation of (guanidino)quinazolinones as MC4-R agonists for treatment of obesity and type II

diabetes INVENTOR(S): Boyce, Rustum S.; Aurrecoechea, Natalia; Chu, Daniel; Smith, Aaron Chiron Corporation, USA PCT int. Appl., 170 pp. CODEN: PIXXD2 Patent English 1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE PATENT NO.

WO 2003099818

Ni AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PH, PL, PT, TZ, UA, UG, RN: GH, GM, KE, KG, KZ, MD, FT, FR, GB, BP, BJ, CP, CA 2485966

AU 2003245325

US 2004019049

US 7034033

EP 1551814

Ri AT, BE, CH, JP 2005531583 2006030573 PRIORITY APPLN. INFO.: P 20030117 US 2003-441019P US 2003-444495 A3 20030523 WO 2003-US16442 W 20030523

MARPAT 140:16739 OTHER SOURCE(S):

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title low mol. weight, guanidine-containing mols. I, II, and III [wherein Zl = CR4, N, Z2 = CR5, N; Z3 = CR6, N; R1 = (un)substituted (hetero)arylalkyl, (hetero)aryl, heterocyclyl, cycloalkyl(alkyl), heterocycloalkyl(alkyl), alkynyl, alkynyl, alkyn, Z2 = H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkyn, alkynyl, heterocyclyl, (hetero)arylalkyl, cycloalkylalkyl,

ANSWER 9 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

THERE ARE 14 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) alkylcarbonyl, arylcarbonyl, R3 = H or (un)substituted (hetero)arylalkyl, alkoxy, (di)alkylamino, (hetero)aryl, heterocyclyl, (hetero)cycloalkyl, cycloalkylakyla klenyl, alkynyl, alkyl; R4-R6 = independently H, halo, OH, NH2, CX, NO2, or (un)substituted alkoxy, (cycloalkyl, alkenyl, alkynyl, (di)alkylamino, heterocycylamino(carbonyl), heteroarylamino(carbonyl), aminocarbonyl, (di)alkylaminocarbonyl; W = (un)substituted guanidino; and prodruga, pharmaceutically acceptable salts, stereoisomers, tautomers, hydrates, hydrides, or solvates thereof) were prepd, as melanocortin-4 receptor (MC4-R) agonists. For example, amidation of 4,5-difluoroanthranilic acid with 4-fluorophenylethylamine

the presence of HOBt and diisopropylethylamine in THF provided the benzamide (90%). The 2-aminobenzamide was cyclized with tri-Me orthoformate by heating to 120° for 3 h affording 6,7-difluoro-3-(2-4-fluoropheny)lethyl]-3-hydroquinszolin-4-one (75%), which was converted to the azide (95%) by reaction with NaN3 in DMSO.

azide was coupled with (18,28,38,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-ylisocyanate in the presence of PMe3 in THF, and the product was reacted with (68,2R)-2,6-dimethylpiperazine to give the guanidine deriv. IV.

values of one hundred five test compds. were detd. by treating cells expressing MC4-R with test compds., lysing the cells, and measuring intercellular cAMP concms. Compds. listed displayed -log EC50 values above about 3. Thus, I, II, III, and their pharmaceutical compms. are useful for the treatment of MC4-R-mediated diseases, such as obesity or type II diabetes (no data). 629628-69-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MC4-R agonist; preparation of (guanidino)quinazolinones as MC4-R

agonists
for treatment of obesity and type II diabetes)
RN 629628-69-9 CAPLUS

N- [3

03903-03-7 CAPOS 1-PiperaZinecarboximidamide, [2-(4-fluorophenyl)ethyl]-3,4-dihydro-2-(4-methylcylohexyl)4-0xo-7-quinazolinyl]-3-methyl-N'-{(18,28,38,5R)-2,6,6-trimathylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

Page 18

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE PORMAT

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 516516-32-8 CAPLUS
COPYRIGHT 2006 ACS on STN (Continued)
RN 516516-32-8 CAPLUS
FOR FOR COMMENT AND CONTINUE CONTINUE

516517-60-5 CAPLUS
Perrocene,
[6-[3,4-dihydro-4-oxo-3-{phenylmethyl}-6-(trifluoromethyl)-2-quinazolinyl]-3,5,6,7-tetrahydro-1,3,5,7-tetracxocyclopent[f]isoindol-2(1H)-yl]-3,5-dimethylphenyl]- (9CI) (CA INDEX NAME)

RN 516518-81-3 CAPLUS
CN Ferrocene,
[4-[7-[3,4-dih)dro-4-oxo-3-(phenylmethyl)-6-(trifluoromethyl)-2quinazolinyl]-3,6,7,8-tetrahydro-1,3,6,8-tetraoxonaphth[2,1,8def[isoquinolin-2(1H)-yl]-3,5-dimethylphenyl]- (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2003:335019 CAPLUS DOCUMENT NUMBER: 138:346575 Imide co-

INVENTOR (S) :

I38:346575
Imide compounds and their application in optical recording media of their application in optical recording media of their application in optical recording media of their application in optical recording their application of their

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: PAMILY AC PATENT IN

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			GM,	HR,	ΗU,	ID,	IL,	IN,	ıs,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
								MD,										
								SE,						TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	υz,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	: GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	λZ,	BY,
								TM,										
								IT,									BJ,	CP,
								GQ,										
	EP	144	5115			A1		2004	0811	1	EP 2	002-	7779	15		2	0021	022
		R:	AT,															PT,
								RO,										
	CN	157	5236 40425			A		2005	0202		CN 2	002-	8208	90		2	0021	022
	JP	2004	40425	96		A2		2004	0212		JP 2	002-	3247	89		2	0021	108
	υs	200	52084	25		A1		2005	0922	1	US 2	004-	4930	34		2	0040	419
RIOR	IT	Y AP	52084 PLN.	INFO	. :						JP 2	001-	3239	00	- 4	A 2	0011	022
											JP 2	001-	3447	42	i	A 2	0011	109
											JP 2	002-	1475	38		A 2	0020	522
											JP 2	002-	2109	49		A 2	0020	719
											JP 2	002-	2447	76		A 2	0020	826

OTHER SOURCE(S): MARPAT 138:346575

AB An optical recording medium contains in its recording layer at least one imide compound having a metallocene substitution group.

IT 516516-32-8 516517-60-5 516518-81-3

RL: MOA (Modifier or additive use); USES (Uses)

(metallocene-containing imide compds. optical recording media)

WO 2002-JP10939

W 20021022

L4 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: THIS THERE ARE 19 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 19

L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2002:543605 CAPLUS DOCUMENT NUMBER: 138:106649

DOCUMENT NUMBER: TITLE:

AUTHOR (S): CORPORATE SOURCE:

138:106649
Solid-phase synthesis of quinazolin-4(3H)-ones with three-point diversity Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B. Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India Tetrahedron Letters (2002), 43(32), 5579-5581 CODEN: TELEAY; ISSN: 0040-4039 Elsevier Science Ltd. Journal English CASREACT 138:106649

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S):

A versatile method for the solid-phase synthesis of differentially substituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 =

supstituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 = Me;

R2R3N = N-methylpiperazino. 4-benzylpiperidino, morpholino; R4 = R5 = H,

R4R5 = CH:CKCHCH2) was developed using immobilized arrylguanidines. The latter were obtained by treating the amino group of polymer-linked aminoaryl amide with isothiocyanates RINCS followed by coupling of resulting thioureas with secondary amines RINR4. Under mild acidic conditions, these immobilized arrylguanidines underwent cyclization/polymer marrix cleavage to give I in high yields and purities.

IT 485402-04-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (solid-phase synthesis of (amino)quinazolinones with three points of diversity from aminoaryl carboxylic acids, isothiocyanates, and ascondary amines)

RM 485402-04-8 CAPUS

CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperidinyl]-(SCI) (CA INDEX NAME)

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:247321 CAPLUS
DOCUMENT NUMBER: 134:280852
CQUInazolinones useful as glycoprotein IbIX
antagonists, and their preparation and use for

control

of thrombotic disorders
Mederski, Werner; Devant, Ralf; Barnickel, Gerhard;
Bernotat-danielowski, Sabine; Melxer, Guido; Dhanoa,
Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark;
Soll, Richard
Merck Patent Gmbh, Germany; et al.
PCT Int. Appl., 104 pp.
CODEN: PIXXD2
Patent
Inglish INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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WO 2																	
											BR,						
											GM,						
											LS.						
		ON.	MW.	MX.	NO.	NZ.	PL.	PT.	RO.	RU.	SD,	SE.	SG.	SI.	SK.	SL.	TJ.
	1	м.	TR.	TT.	UA.	UG.	US.	UZ.	VN.	YU.	ZA,	ZW.	AM.	AZ.	BY.	KG.	KZ.
		۱D.	RU.	TJ.	TH												
1	RW: C	н,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		E,	DK,	ES,	PI,	PR,	GB,	GR,	IE,	IT.	LU,	MC,	NL,	PT,	SE,	BF,	BJ,
		P,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR.	NE,	SN,	TD,	TG			
CA 2	38592	11			AA		2001	0405		CA 2	2000-	2385	921		2	0000	913
BR 2	00001	42	94		A		2002	0521		BR 2	2000-	1429	4		2	0000	913
EP 1:	21623	5			A1		2002	0626		EP 2	2000-	9659	91		2	0000	913
1	R: /	T,	BE,	CH,	DE,	DK,	ES,	PR,	GB,	GR,	IŤ,	LI,	LU.	NL,	SE,	MC,	PT,
							RO,										
US 6																	
NO 2	00200	15	02		A		2002	0326		NO 2	2002 -	1502			2	0020	326
PRIORITY :	APPL	1.	INFO	. :					1	us :	1999-	4079	58		A 1	9990	928
									1	us :	1999-	2875	86P		P 1	9990	92B
									1	WO :	2000-	EP89	40		W 2	0000	913

OTHER SOURCE(S): MARPAT 134:280852 L4 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

15 THERE ARE 15 CITED REPERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed (in which R, R1 = H, A, OH, OA, OCHAAr, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONH4, CONA2, CO2H, CO2A, SO2A, R2, R3 = H, A, C(:NH)NH2, solid phase: R4 = Ar, phenylalkyl, cycloalkyl, Het; Y = bond, C2-4 alkylene: Z = bond, phenylene: A = (un)branched C1-6 alkyl; Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted, (un)saturated mono- or bicyclic NOS heterocyclyl; Hal =

Cl. Br, or iodo; n=1-3; m=0-3; with a variety of provisos]. The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. Por instance,

substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine, 3-(aminomethyl)benzylamine, was supported on p-nitrophenyl carbonate resin, then coupled with various Pmoc-protected anthranilic acids. Cleavage of the Pmoc group, cyclocondensation with various aldehydes R4YCHO, oxidation of the resultant dihydroquinazolinone ring system, and cleavage from the resin with CP3CO3H, gave a variety of compds. I, e.g., the preferred compound II.

17 32362-66-0P, 3-(3-Aminomethylbenzyl)-6-chloro-2-cyclohexyl-3H-quinazolin-4-one 33262-66-0P, 3-(3-Aminomethylbenzyl)-6-methoxyl-2-cyclohexyl-3H-quinazolin-4-one 332362-69-3P, 3-(3-Aminomethylbenzyl)-6-methoxy-2-cyclohexyl-3H-quinazolin-4-one 332362-70-5P, 3-(3-Aminomethylbenzyl)-6-methoxy-2-cyclohexyl-3H-quinazolin-4-one 332362-70-6P, 3-(3-Aminomethylbenzyl)-8-cyclohexyl-3H-quinazolin-4-one 332362-70-6P, 3-(3-Aminomethylbenzyl)-2-cyclohexyl-3H-quinazolin-4-one 332362-70-6P, 3-(3-Aminomethylbenzyl)-2-cyclohexyl-3H-guinazolin-4-one 342362-70-6P, 3-(3-Aminomethylbenzyl)-8-cyclohexyl-3H-guinazolin-4-one 342362-70-6P, 3-(3-Aminomethylbenzyl)-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-8-cyclohexyl-3H-guinazolin-

Habte

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ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

332362-67-1 CAPLUS
4(3H)-Quinazolinone, 3-[[3-(aminomethyl)phenyl]methyl]-2-cyclohexyl-6-methyl- (9CI) (CA INDEX NAME)

332362-68-2 CAPLUS
4(3H)-Quinazolinone, 3-[(3-(aminomethyl)phenyl]methyl]-7-chloro-2-cyclohexyl-(9CI) (CA INDEX NAME)

332362-69-3 CAPLUS (43H)-Quinazolinone, 3-[[3-(aminomethyl)phenyl]methyl]-2-cyclohexyl-6-methoxy-(9C1) (CA INDEX NAME)

332362-70-6 CAPLUS 4(3H)-Quinazolinone, 3-{{3-(aminomethyl)phenyl}methyl}-2-cyclohexyl-

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 2001:247320 CAPLUS DOCUMENT NUMBER: 134:280851

Quinazolinones useful as glycoprotein IbIX antagonists, and their preparation and use for TITLE:

control of thrombotic disorders

of thrombotic disorders
Mederski, Werner; Devant, Ralf; Barnickel, Gerhard;
Bernotat-danielowski, Sabine; Melzer, Guido; Dhanoa,
Daljit; Zhao, Bao-ping; Rinker, James; Player, Mark;
Soll, Richard
Merck Patent Gmbh, Germany; et al.
PCT Int. Appl., 64 pp.
CODEN: PIXXD2 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.																	
							-									-		
	WO	2001	0233	64		A1		2001	0405		WO 2	000-	EP89	39		2	0000	913
	_								BA,									
									GD.									
									LC,									
									PT,									
									UZ,						,	•,	,	,
		RW:							SD.					ZW.	AT.	BE.	CH.	CY.
									GR.									
			CF.	CG.	CI.	CM.	GA.	GN.	GW.	ML.	MR.	NE.	SN.	TD.	TG			
	CA	2385	918			AA		2001	0405		CA 2	000-	2385	918		2	0000	913
	BR	2000	0143	11		A		2002	0521		BR 2	000-	1431	1		2	0000	913
	EP	1216	233			A1		2002	0626		EP 2	000-	9624	82		2	0000	913
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL							
	NO	2002	0015	03		A		2002	0326		NO 2	002-	1503			2	0020	326
	US	7060	706			B1		2006	0613		US 2	002-	8916	7		2	0020	829
PRIO	RIT	APP	LN.	INFO	.:						US 1	999-	4079	39		A 1	9990	928
											US 1	999-	3257	77P		P 1	9990	928
										,	WO 2	000-	EP89	39	,	W 2	0000	913

OTHER SOURCE(S): MARPAT 134:280851 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (CA INDEX NAME) (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Quinazolinones I and their pharmaceutically tolerable salts and solvates are disclosed [in which R, R1 = H, A, OH, OA, OCH2AT, Hal, NH2, NHA, NA2, NO2, cyano, COR2, CONH2, CONH4, CONA2, CO2H, CO2A, SO2A; R2, R3 = H, A, C(:NH)NH2, solid phase: R4 = Ar, phenylalkyl, cycloslkyl, Het: Y = bond, C2-4 alkylene: A = (un)branched C1-6 alkyl; Ar = (un)substituted Ph, naphthyl, biphenyl, or benzofuranyl; Het = (un)substituted (un)saturated mono- or bicyclic NOS heterocyclyl; Hal = F, C1, Br, or iodo; n, m =

The compds. are glycoprotein IbIX antagonists (no data), useful for treatment or prophylaxis of a variety of thrombotic disorders, or as anti-adhesive substances for implants, catheters, or heart pacemakers. For instance, an exemplary amine.

[[3-(aminomethyl)cyclohexyl]methyl]maine, was supported on p-nitrophenyl carbonate resin, then coupled with various Proc-protected anthrantlic acids. Cleavage of the Proc group, cyclocondensation with various aldehydes RAYCHO, oxidation of the resultant

ttant dihydroquinazolinone ring system, and cleavage from the resin with CF3CO2H, gave a variety of compds. I, e.g., the preferred compound II. 332121-31-0P, 3-[13-{Aminomethyl}cyclohexyl]methyl]-6-chloro-2-cyclohexyl-3H-quinazolin-4-one 332121-32-1P,

3-[(3-{Aminomethyl)cyclohexyl]methyl}-6-methyl-2-cyclohexyl-3H-quinazolin-4-one 332121-33-2P, 3-[[3-{Aminomethyl)cyclohexyl]methyl]-7-chloro-2-cyclohexyl-3H-quinazolin-4-one 332121-34-3P,

3-[[3-(Aminomethyl)cyclohexyl]methyl]-6-methoxy-2-cyclohexyl-3H-quinazolin4-one 332121-35-4P, 3-[[3-(Aminomethyl)cyclohexyl]methyl]-2cyclohexyl-3H-quinazolin-4-one
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazolinone derivs. as glycoprotein
IbIX

Thix

Page 21

L4 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) antagonists)
332121-31-0 CAPLUS
4(3H)-Quinazolinone,

, 3-{[3-{aminomethyl}cyclohexyl}methyl}-6-chloro-2-(CA INDEX NAME)

RN 332121-32-1 CAPLUS
CN 4(3H)-Quinazolinone,
3-[[3-(aninomethyl)cyclohexyl]methyl]-2-cyclohexyl-6methyl- (9CI) (CA INDEX NAME)

332121-33-2 CAPLUS
4(3H)-Quinazolinone, 3-{[3-(aminomethyl)cyclohexyl]methyl]-7-chloro-2-cyclohexyl- (9CI) (CA INDEX NAME)

RN 332121-34-3 CAPLUS CN 4(3H)-Quinazolinone, 3-[[3-(aminomethyl)cyclohexyl]methyl]-2-cyclohexyl-6-methoxy-(9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1995:494627 CAPLUS DOCUMENT NUMBER: 123:306582 TITLE: Angiotemsin II receptor subtyp

123:306582
Angiotensin II receptor subtype 2 receptor (AT2) antagonists for inhibition of vascular restenosis, their preparation, and pharmaceutical compositions containing them
Reilly, Christopher F.; DeLaszlo, Stephen E.;

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE:

Robert G.; Pujita, Tsuneo Merck and Co., Inc., USA PCT Int. Appl., 65 pp. CODEN: PIXXD2

Patent

LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. ENT NO. KIND DATE APPLICATION NO. DATE

9503055 A1 19950202 WO 1994-US7837 19940713
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ,
LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ,
TT, UA, UZ
RN: AT, BB, CH, DS, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BP, BJ, CP, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

5409926 A 19950425 US 1993-93833 19930719

4773311 A1 19950220 AU 1994-73311 19940713

APPLN. INFO:: KIND DATE APPLICATION NO. WO 9503055

US 5409926 AU 9473311 PRIORITY APPLN. INFO.:

WO 1994-US7837 W 19940713

DATE

OTHER SOURCE(S):

MARPAT 123:306582

Disubstituted 6-aminoquinazolinones I [R1 = CO2R2 (R2 = H, C1-6 alkyl), tetrazol-5-yl; R4 = (substituted) C1-6 alkyl, C2-6 alkenyl, Ph C1-6

heteroaryl C1-6 alkyl; R5 = CO2R7, COR8 (R7 = (substituted) C1-6 alkyl,

C1-6 alkyl, heteroaryl C1-6 alkyl; R8 = (substituted) C1-6 alkyl, Ph. heteroaryl, etc.); R6 = H. Me, Et, etc.; R9 = H, F, C1, Br, I, C1-4 alkyl

alkyl,

C1-6 alkoxy; R10 = H, C1-5 alkyl, Ph] are useful as angiotensin II
receptor (subtype 2) antagonists (AT2 antagonists) slone or in
combination
with heparin, and can act to suppress the vascular stenosis which

occurs during the development of atherosclerosis and the restenosis

Habte

ANSWER 14 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

332121-35-4 CAPLUS 4(3H)-Quinazolinone, 3 (9CI) (CA INDEX NAME) e, 3-[[3-(aminomethyl)cyclohexyl]methyl)-2-cyclohexyl-

REFERENCE COUNT

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

PORMAT

ANSWER 15 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued) following arterial angioplasty, stent placement, bypass surgery, heart transplantation or endarterectomy. Prepn. of selected I is included. The

effect of I (R1 = tetrazoly); R4 = benzyl; R5 = CO-2-thiophene; R6 = Et; R9, R10 = H) (II) on restenosis in the rat was detd. Capsule, tablet, suppository, and injection formulations of II are presented. 150648-45-0 IT

1908a4-45-U
RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(angiotensin II receptor subtype 2 receptor antagonists for inhibition
of vascular restenosis, their preparation, and pharmaceutical compns.

containing
them)
RN 15048-45-0 CAPLUS
CN Benzamide, N-[2-cyclopropyl-3,4-dihydro-4-oxo-3-[[2'-(lH-tetrazol-5-y)] [1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)

Page 22

L4 ANSMER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:420519 CAPLUS
DOCUMENT NUMBER: 122:314564
TITLE: 6-Amino-3-(biphenylylmethyl)quinazolinones as angiotensin II antagonists
INVENTOR(S): De Lazzlo, Stephen E.; Glinka, Tomasz W.; Greenlee, William J.; Chakravarty, Prasun K.; Patchett, Arthur A.

PATENT ASSIGNEE(S): SOURCE:

A. Merck and Co., Inc., USA
U.S., 37 pp. Cont. of U.S. Ser. No. 912,458,
abandoned.
CODEN: USXXXAM
Patent
English
1

DOCUMENT TYPE: LANGUAGE: ' FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND A PATENT NO. DATE APPLICATION NO. DATE US 5385894 PRIORITY APPLN. INFO.: 19950131 US 1994-222354 US 1994-222354 19940404 B1 19940404

> US 1992-912458 19910306

B2 19920713

US 1991-665389

OTHER SOURCE(S): MARPAT 122:314564

Novel disubstituted 6-aminoquinazolinones I (R4 = e.g., benzyl, Bu, Pr;

R5

- e.g., CO2Bu-iso, CO2Me, CO2Pr; R6 - e.g., Bu, Pr) are useful as angiotensin II antagonists. In an antihypertensive screening, I exhibited

an activity of IC50 < 50 mM, thereby demonstrating and confirming utility as AII antagonists. Pharmaceutical formulations were given.

IT 150484-44-9P 150484-45-0P

RL BAC (Biological activity or effector, except adverse); BSU (Biological)

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (G-amino-3-(biphonylylmethyl)quinazolinones as angiotensin II

L4 ANSWER 17 OF 19
ACCESSION NUMBER:
DOCUMENT NUMBER:
119:195691 CAPLUS
119:195691
SINVENTOR(5):
LINVENTOR(5):
Chakravarty, Prasun K.; Naylor, E. M.; Ransom,

INVENTOR(S): Richard

PATENT ASSIGNEE(S): SOURCE:

W. Merck and Co., Inc., USA U.S., 18 pp. CODEN: USXXAM

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. KIND DATE PATENT NO. DATE US 5204354 PRIORITY APPLN. INFO.: 19930420 US 1992-826726 US 1992-826726 19920214

OTHER SOURCE(s): MARPAT 119:195691
AB Substituted quinazolinones (Markush shown) are useful for treating central

nervous system (CNS) disorders, e.g. psychoses, depression, cognitive dysfunction, anxiety, tardive dyskinesia, drug dependence, panic attack, and mania. The compds. had ICSO <50µM in a neurotensin binding assay using human frontal cortex.

150408-44-9 150484-45-0
RL BIOL (Biological study)
(as neurotensin antagonist, for treating central nervous system disorders)
150408-44-9 CAPLUS
Benzamide, N-[2-cyclopropyl-3,4-dihydro-4-oxo-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-pentyl- (9CI) (CA

NAME)

150484-45-0 CAPLUS
Benzamide, N-[2-cyclopropyl-3,4-dihydro-4-oxo-3-[2'-(1H-tetrazol-5yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)

L4 ANSMER 16 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
antagoniets)
RN 150484-44-9 CAPLUS
CN Benzemide, N-[2-cyclopropyl-3,4-dihydro-4-oxo-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-pentyl- (9CI) (CA INDEX NAME

150484-45-0 CAPLUS
Benzamide, N-[2-cyclopropyl-3,4-dihydro-4-oxo-3-[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-6-quinazolinyl]-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Page 23

L4 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2006 ACS On STN ACCESSION NUMBER: 1973:405315 CAPLUS DOCUMENT NUMBER: 79:5315

DOCUMENT NUMBER: TITLE:

79:5315
Isothiocyanates. 35. Amidino isothiocyanates. II. Isomerization, dimerization, and condensation reactions of amidino isothiocyanates
Abraham, W.; Barnikow, G.
Sekt. Chem., Humboldt-Univ., Berlin, Ped. Rep. Ger.
Tetrahedron (1973), 29(5), 691-7
CODEN: TETRAB; ISSN: 0040-4020
Journal

AUTHOR(S): CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

DOCUMENT TYPE: Journal
LANGUAGE: German
Germ

ANSWER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

40057-19-0 CAPLUS 4(3H)-Ouinezolinone, 6-chloro-2-cyclopropyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

L4 ANSMER 19 OF 19 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:72047 CAPLUS
TITLE: 78:72047 T.2047 CAPLUS
TITLE: 3-Aryl-2-cyclopropyl-4(3H)-quinazolinones
AUTHOR(S): 5cmasekhara, S.; Dighe, V. S.; Gokhale, S. V.
Sarabhai Res. Cent., Baroda, India
Indian Journal of Pharmacy (1972), 34(5), 121-2
CODEN: IJPRAO; ISSN: 0019-5472
JOURNAL TEPEL

DOCUMENT TYPE: LANGUAGE:

MENT TYPE: Journal HUNGE: English For diagram(s), see printed CA Issue.

For diagram(s), see printed CA Issue.

Twenty cyclopropylquinazolinones (I; R = Ph, o-MeC6H4, p-MeOC6H4, PhCH2, etc.; R1 = H, Cl) were prepared by condensing o-aminobensanilide derivs. with cyclopropanecarboxylic acid or N-cyclopropylearbonylanthranilic acid with aromatic amines, in pyridine with PCl3. At 100 mg/kg I (R = 100 mg/kg I)

o-MeC6H4) CGH4) produced hypoactivity and atasia in mice. The ED50 of I (R = m-MeOC6H4) against electroshock convulsions in mice was 75 mg/kg. 40057-10-1P 40057-11-2P 40057-18-9P 40057-19-0P

40057-19-UP
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
40057-10-1 CAPLUS
4(3H)-Quinazolinone, 2-cyclopropyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

40057-11-2 CAPLUS 4(3H)-Quinazolinone, 2-cyclopropyl-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

40057-18-9 CAPLUS
4(3H)-Quinazolinone, 6-chloro-2-cyclopropyl-3-(phenylmethyl)- (9CI) (CA
INDEX NAME) (CA